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L3           2 L2

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L3   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2005:638846  CAPLUS
DN   143:153295
TI   Preparation of diarylmethylidenylpiperidines for the management of pain
IN   Brown, William; Griffin, Andrew; Walpole, Christopher
PA   Astrazeneca AB, Swed.
SO   PCT Int. Appl., 56 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2005066128        A1    20050721    WO 2005-SE13           20050105
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     AU 2005204009        A1    20050721    AU 2005-204009         20050105
     CA 2552850           A1    20050721    CA 2005-2552850        20050105
     EP 1706380           A1    20061004    EP 2005-704687         20050105
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     CN 1926105           A     20070307    CN 2005-80006251       20050105
     BR 2005006707        A     20070502    BR 2005-6707           20050105
     JP 2007517872        T     20070705    JP 2006-549189         20050105
     IN 2006DN03735       A     20070420    IN 2006-DN3735         20060629
     MX 2006PA07662       A     20060904    MX 2006-PA7662         20060703
     NO 2006003617        A     20061009    NO 2006-3617           20060809
PRAI SE 2004-25           A     20040109
     WO 2005-SE13         W     20050105
OS   CASREACT 143:153295; MARPAT 143:153295
GI
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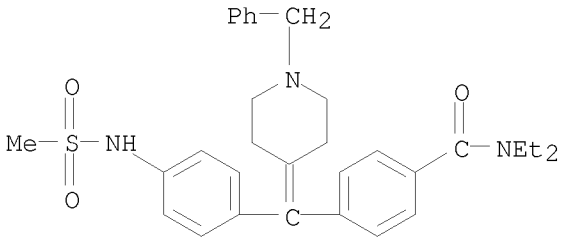
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2 = alkyl, H; R3 = H, COR4, SO2R4, etc.; R4 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example,N-acetylation of aniline II (R2 = H) with acetic anhydride afforded the TFA salt of diarylmethylidenylpiperidine II (R2 = COCH3) in 100% yield. In human

δ receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.22-2.34 nM, with an average of 0.98 nM (sic).

IT 859911-50-5P, 4-[(1-Benzylpiperidin-4-ylidene)[4-[(methylsulfonyl)amino]phenyl]methyl]-N,N-diethylbenzamide
859911-51-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylmethylidenylpiperidines for the management of pain)

RN 859911-50-5 CAPLUS
CN Benzamide, N,N-diethyl-4-[[4-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



RN 859911-51-6 CAPLUS
CN Benzamide, N,N-diethyl-4-[[4-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 859911-50-5
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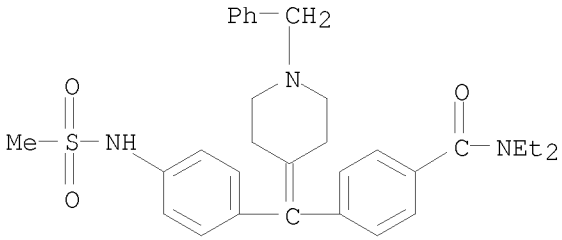

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CRN 76-05-1
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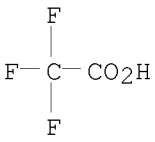


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:606467 CAPLUS
DN 141:157038
TI Preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4-ylidenemethyl]benazmide derivatives as delta opioid receptor ligands
IN Brown, William; Griffin, Andrew; Walpole, Christopher
PA Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004063193	A1	20040729	WO 2004-GB61	20040113
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
	EP 1590346	A1	20051102	EP 2004-701628	20040113
	EP 1590346	B1	20061025		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006515352	T	20060525	JP 2006-500189	20040113
	AT 343571	T	20061115	AT 2004-701628	20040113
	ES 2274415	T3	20070516	ES 2004-701628	20040113
	US 20060148850	A1	20060706	US 2005-541664	20050707
PRAI	SE 2003-104	A	20030116		
	WO 2004-GB61	W	20040113		

OS MARPAT 141:157038
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

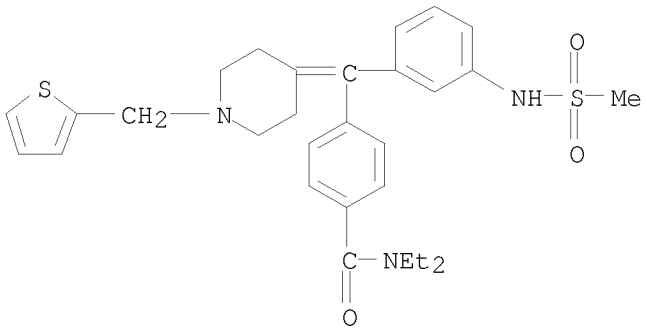
AB Title compds. I [R1 = aryl, heteroaryl, etc.; R2-5 = H, alkyl, cycloalkyl, etc.] are prepared For instance, 4-[bromo(4-carboxyphenyl)methylene]piperidine-1-carboxylic acid tert-Bu ester (preparation given) is converted to the diethylamide (CH2Cl2, i-BuO2CCl, HNEt2), deprotected (CH2Cl2, TFA), alkylated with thiophene-2-carboxaldehyde (1,2-dichloroethane, NaHB(OAc)3), coupled to m-aminobenzeneboronic acid (PhMe/EtOH/H2O, Pd(PPh3)4, Na2CO3) and finally treated with methanesulfonic anhydride to give II. Compds. of the invention have IC50 in the range of 0.18 - 0.56 nM for the δ-opioid receptor. I are useful in the management of

pain.

IT 728917-19-9P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(thien-2-ylmethyl)piperidin-4-ylidene]methyl]benzamide 728917-20-2P 728917-21-3P 728917-22-4P, N,N-Diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]benzamide 728917-23-5P, N,N-Diethyl-4-[[1-(phenylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]benzamide 728917-24-6P 728917-25-7P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]benzamide 728917-26-8P 728917-30-4P 728917-31-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclymethyl)piperidin-4-ylidenemethyl]benazmide derivs. as delta opioid receptor ligands)

RN 728917-19-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



RN 728917-20-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

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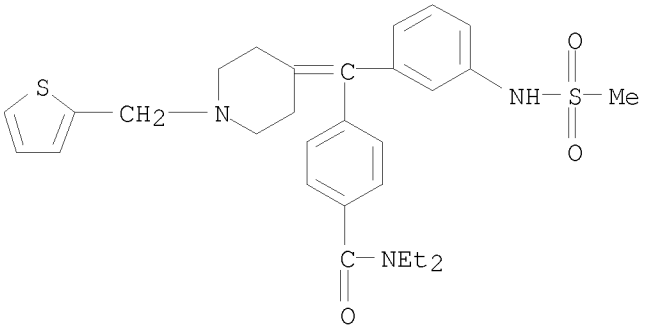

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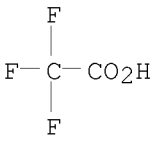
CRN 76-05-1
CMF C2 H F3 O2



RN 728917-21-3 CAPLUS
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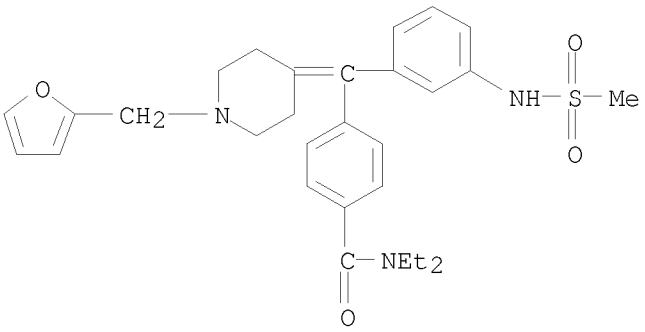

●6/5 HCl

RN 728917-22-4 CAPLUS
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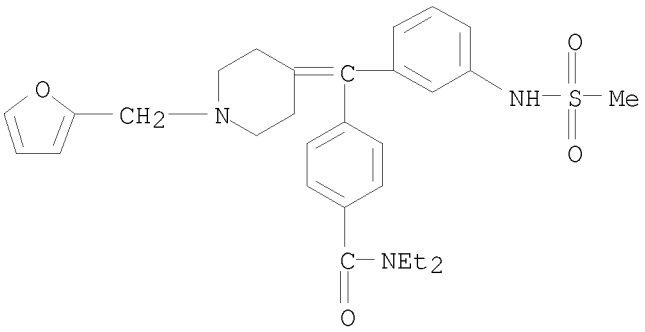
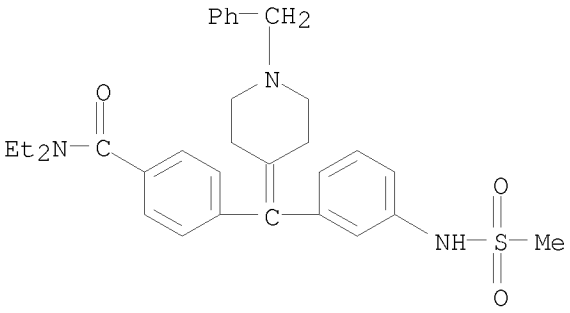


RN 728917-23-5 CAPLUS
CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



RN 728917-24-6 CAPLUS
CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (10:13) (CA INDEX NAME)

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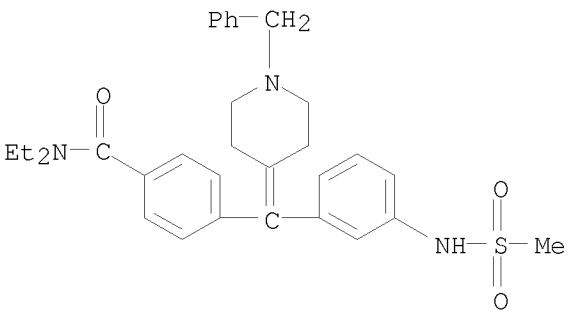

CM 2

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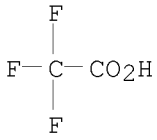

RN 728917-25-7 CAPLUS
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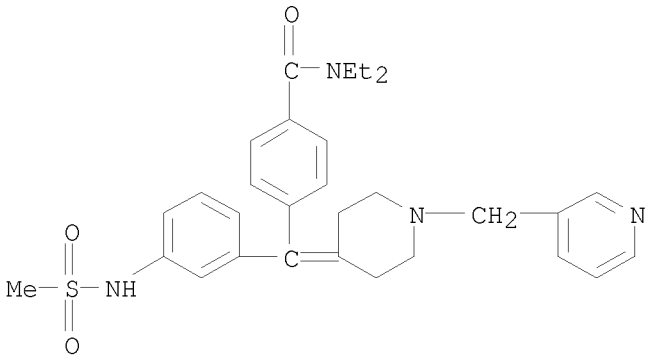

RN 728917-26-8 CAPLUS
CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (2:5) (CA INDEX NAME)

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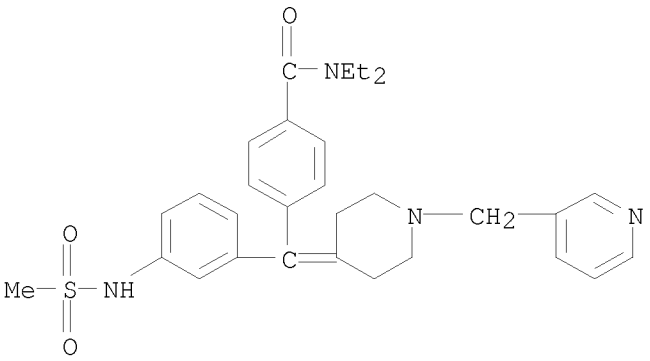

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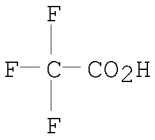

RN 728917-30-4 CAPLUS
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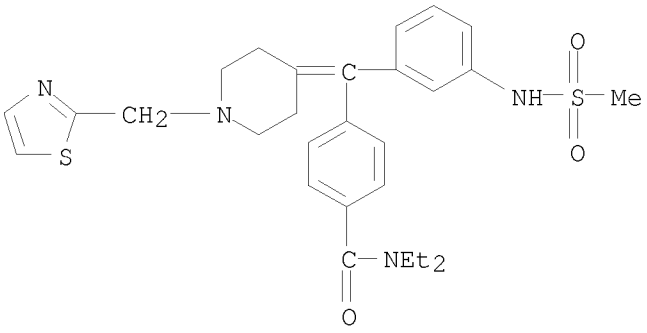

RN 728917-31-5 CAPLUS
CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]-, 2,2,2-trifluoroacetate (2:5) (CA INDEX NAME)

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CRN 728917-30-4

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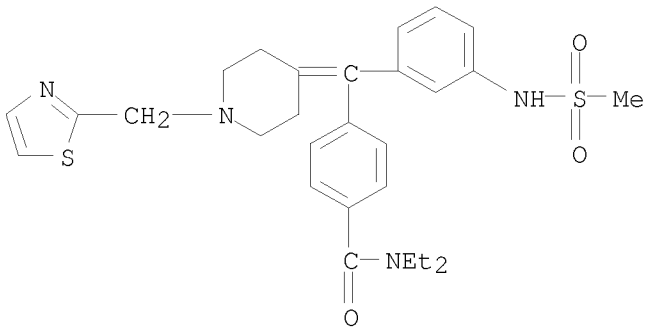

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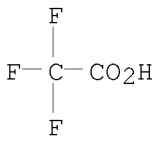

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